

## 1 CLAIMS

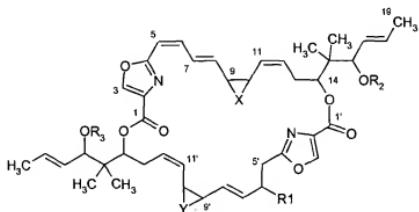
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### 3 What is claimed is:

4

5 1. A medicament containing at least one disorazole derivative of the general  
6 formula I

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### Formula I

11 in which independently of one another

13

13 R1 is:

14 (i) hydrogen

15 (i) OR4

(i) part of a double bond to C5'

17

18 R2, R3 and R4 are:

- (i) hydrogen
- (ii) unsubstituted or substituted ( $C_1$ - $C_6$ )-alkyl,
- (iii) ( $C_1$ - $C_4$ )-alkyl substituted by one or more fluorine atoms, preferably a trifluoromethyl group,
- (iv) unsubstituted or substituted ( $C_1$ - $C_4$ )-alkyl-( $C_6$ - $C_{14}$ )-aryl, unsubstituted or substituted ( $C_1$ - $C_4$ )-alkyl-heteroaryl
- (v) ( $C_1$ - $C_4$ )-alkoxycarbonyl, ( $C_1$ - $C_4$ )-alkylaminocarbonyl ( $C_1$ - $C_4$ )-alkylaminothiocarbonyl, ( $C_1$ - $C_6$ )-alkyl-carbonyl or ( $C_1$ - $C_6$ )-alkoxycarbonyl-( $C_1$ - $C_6$ )-alkyl,

it being possible for the substitution of the alkyl radical by F, Cl, I, CN, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>20</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl to take place singly or, on identical or different atoms, multiply identical or different

substituents, and it being possible for the substitution of an aryl radical by F, Cl, Br, I, CN, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>20</sub>)-alkyl, OH, O-(C<sub>1</sub>-C<sub>20</sub>)-alkyl and/or (C<sub>3</sub>-C<sub>8</sub>)-heterocyclyl having 1 to 5 heteroatoms, preferably nitrogen, oxygen, sulfur to take place singly or, on identical or different atoms, multiply by identical or different substituents.

23 and

1  
2 X, Y are: in each case individually independently of one another or  
3 together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal  
4 methoxy groups, part of a double bond,

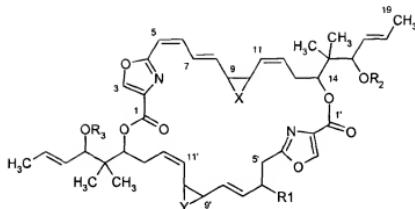
5  
6 a compound being excluded in which R1 is methoxy, R2, R3 are hydrogen, X is  
7 oxygen and Y is the part of a double bond,

8  
9 its tautomers, E/Z isomers, stereoisomers, including the diastereomers and  
10 enantiomers, and the physiologically tolerable salts thereof.

11  
12 2. The medicament as claimed in claim 1, containing the disorazole derivative  
13 and pharmaceutically utilizable carriers and/or diluents and excipients in the  
14 form of solutions, suspensions, emulsions, foams, ointments, pastes,  
15 patches or implants for administration.

16  
17 3. The use of disorazole derivatives of the general formula I

18



**Formula 1**

1

2

3

4 in which independently of one another

5

6 R1 is:

- 7 (i) hydrogen
- 8 (ii) OR4
- 9 (iii) part of a double bond to C5'

10

11 R2, R3 and R4 are:

- 12 (i) hydrogen
- 13 (ii) unsubstituted or substituted (C<sub>1</sub>-C<sub>6</sub>)-alkyl,
- 14 (iii) (C<sub>1</sub>-C<sub>4</sub>)-alkyl substituted by one or more fluorine atoms, preferably  
a trifluoromethyl group,
- 15 (iv) unsubstituted or substituted (C<sub>1</sub>-C<sub>4</sub>)-alkyl-(C<sub>6</sub>-C<sub>14</sub>)-aryl,  
unsubstituted or substituted (C<sub>1</sub>-C<sub>4</sub>)-alkyl-heteroaryl,

1               (v)     (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl,   (C<sub>1</sub>-C<sub>4</sub>)-alkylaminocarbonyl   (C<sub>1</sub>-C<sub>4</sub>)-  
2               alkylaminothiocarbonyl,   (C<sub>1</sub>-C<sub>6</sub>)-alkyl-carbonyl   or   (C<sub>1</sub>-C<sub>6</sub>)-  
3               alkoxycarbonyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl,

4

5               it being possible for the substitution of the alkyl radical by F, Cl,  
6               Br, I, CN, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>20</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, OH, O-(C<sub>1</sub>-  
7               C<sub>20</sub>)-alkyl to take place singly or, on identical or different atoms, multiply  
8               by identical or different substituents, and it being possible for the  
9               substitution of an aryl radical by F, Cl, Br, I, CN, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>20</sub>)-alkyl,  
10              OH, O-(C<sub>1</sub>-C<sub>20</sub>)-alkyl and/or (C<sub>3</sub>-C<sub>8</sub>)-heterocyclyl having 1 to 5  
11              heteroatoms, preferably nitrogen, oxygen, sulfur to take place singly or,  
12              on identical or different atoms, multiply by identical or different  
13              substituents,

14

15              and

16

17              X, Y are:     in each case individually independently of one another or  
18                together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal  
19                methoxy groups, part of a double bond,

20

21              a compound being excluded in which R1 is methoxy, R2, R3 are hydrogen, X is  
22              oxygen and Y is the part of a double bond,

23

1    its tautomers, E/Z isomers, stereoisomers, including the diastereomers and  
2    enantiomers, and the physiologically tolerable salts thereof,

3

4    for the production of a medicament for the treatment of benign or malignant  
5    oncoses in humans or animals.

6

7    4. The use of disorazole derivatives of the general formula I as claimed in  
8    claim 3 for the treatment of oncoses alone or in combination with cytotoxic  
9    substances and/or inhibitors of signal transduction.

10

11    5. The use of disorazole derivatives of the general formula I for the production  
12    of a medicament for the treatment of a disease in humans or animals which  
13    is based on the rapid and uncontrolled proliferation of endogenous cells.

14

15    6. The use of disorazole derivatives of the general formula I for the production  
16    of a medicament for the treatment of diseases which respond to  
17    immunomodulatory action, such as psoriasis, arteriosclerosis, arthritis,  
18    keratosis, multiple sclerosis and cancer.

19

20    7. The use of disorazole derivatives of the general formula I for the production  
21    of a medicament for the treatment of infective diseases, such as cachexia,  
22    malaria, AIDS and infection-related fever and pain.

23

1       8. The use of disorazole derivatives of the general formula I for the production  
2       of a medicament for the treatment of inflammatory and allergic diseases,  
3       inflammations mediated by eosinophils or proliferative diseases such as  
4       airway diseases, bronchial asthma, allergic rhinitis, allergic conjunctivitis,  
5       eczema and Crohn's disease.

6

7       9. The use of the disorazole derivative E1 of the general formula I, in which R1  
8       and R2 are hydrogen, R3 is methyl and X and Y are oxygen, as claimed in  
9       claim 3, for the production of a medicament for the treatment of benign or  
10      malignant oncoses in humans or animals.

11

12      10. The use of a disorazole derivative of the general formula I as claimed in  
13      claim 9 for the production of a medicament for the treatment of breast  
14      cancer, ovarian cancer, lung cancer, skin cancer, prostate cancer, renal cell  
15      cancer, hepatic cancer, pancreatic cancer, colonic cancer and cancers of  
16      the brain in humans.

17

18      11. The use of a disorazole derivative of the general formula I as claimed in  
19      claim 9 for the production of a medicament for the treatment of benign or  
20      malignant oncoses in humans or animals in combination with other  
21      antitumor agents.

22

1       12. The use of a disorazole derivative of the general formula I as claimed in  
2           claim 9 for the production of a medicament for the treatment of benign or  
3           malignant oncoses in humans or animals in combination with paclitaxel,  
4           docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin,  
5           ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with  
6           immunomodulators or antibodies and in particular in combination with  
7           inhibitors of signal transduction such as Herceptin, Glivec or Iressa and  
8           others.

9

10      13. The use of a disorazole derivative of the general formula I as claimed in  
11           claim 10 for the production of a medicament for the treatment of benign or  
12           malignant oncoses in humans or animals in combination with other  
13           antitumor agents.

14

15      14. The use of a disorazole derivative of the general formula I as claimed in  
16           claim 10 for the production of a medicament for the treatment of benign or  
17           malignant oncoses in humans or animals in combination with paclitaxel,  
18           docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin,  
19           ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with  
20           immunomodulators or antibodies and in particular in combination with  
21           inhibitors of signal transduction such as Herceptin, Glivec or Iressa and  
22           others.

23

1    15. The use of a disorazole derivative of the general formula I as claimed in  
2        claim 11 for the production of a medicament for the treatment of benign or  
3        malignant oncoses in humans or animals in combination with paclitaxel,  
4        docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin,  
5        ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with  
6        immunomodulators or antibodies and in particular in combination with  
7        inhibitors of signal transduction such as Herceptin, Glivec or Iressa and  
8        others.

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